## Remarks

#### Introduction

Receipt is acknowledged of the Office Action issued April 16, 2002. In the Action, the Examiner has rejected claims 21-24 and 39-103 under alleged obviousness-type double patenting.

Based on the foregoing, Applicants have amended claims 21-24, 39, 42, 45-47, 54, 50, 55, 58, 61-63, 66, 67, 71, 74, 77-79, 80, 82, 86, 87, 89, 90, 93-96, 98, and 103. No claims have been added or canceled. Consequently, claims 21-24 and 39-103 will remain pending with entry of the instant amendments. The amendments are directed to correcting minor claim formatting errors or typographical errors. Applicants have also amended claims 22, 24, 39, 55, 71, and 87 to include the term "optionally protected free functional groups" in order to conform the claims to to this term, which was introduced by an amendment made to claim 21 in Applicants' reply dated May 21, 2001. Reconsideration and withdrawal of any outstanding rejections and objections in view of the foregoing amendments and remarks set forth below are respectfully requested.

### **Double Patenting**

The sole remaining issue in the present case is an alleged double patenting rejection as set forth at paragraphs 2 and 3 of the Office Action. Specifically, the Examiner has rejected claims 21-24 and 39-103 (as renumbered) under alleged double patenting over claims 11-15 of U.S. Patent No. 6,034,238; claims 1-17 of U.S. Patent No. 5,998,447; and claims 7 and 8 of U.S. Patent No. 6,218,415. The Examiner has also provisionally rejected claims 21-24 and 39-103 under alleged double patenting over claims 25-28 of copending U.S. Serial No. 09/995,631. Applicants respectfully traverse the rejection.

Alleged obviousness-type double patenting over claims 11-15 of U.S.
 Patent No. 6,034,238 ('238 patent).

Applicants respectfully submit that this rejection is overcome with the filing of the attached Terminal Disclaimer. Accordingly, reconsideration and withdrawal of the double patenting rejection over claims 11-15 of the '238 patent are respectfully requested.

• Alleged double patenting over claims 1-17 of U.S. Patent No. 5,998,447 ('447 patent).

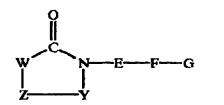
Applicants respectfully submit that this rejection is overcome with the filing of the attached Terminal Disclaimer. Accordingly, reconsideration and withdrawal of the double patenting rejection over claims 1-17 of the '447 patent are respectfully requested.

Alleged double patenting over claims 7 and 8 of U.S. Patent No.
 6,218,415 ('415 patent).

Claims 7 and 8 of the '415 patent are as follows:

- 7. A method of treating a disease or condition associated with vitronectin receptor binding comprising administering to a mammal the composition of claim 6.
- 8. A method of treating a disease or condition associated with the interaction between vitronectin receptors and their ligands in cell-cell or cell-matrix interaction processes, comprising the administration of the compound of claim 1 to a mammal, wherein said disease or condition is selected from the group consisting of reabsorption by osteoclasts, tumor growth and tumor metastasis, inflammation, cardiovascular disease, nephropathies and retinopathies.

The foregoing method claims 7 and 8 of the '415 patent are drawn to administering compounds of claim 1, as shown below.



**(T)** 

Claim 1 expressly excludes a class of compounds at columns 104 and 105 and states:

"with compounds being excluded wherein the group  $R^1$ -A-B-D-C- $(R^{.16})$  representing W is  $R^1$ -K-C( $R^{16}$ ) and wherein the group  $R^1$ -A-B-D-C( $R^{16}$ )=C representing W is  $R^1$ -K-CH=C ( $R^1$ =H), and wherein in the groups  $R^1$ -K-C( $R^{16}$ ) and  $R^1$ -K-CH=C,

 $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub>,  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub> or 4-imidazolyl-CH<sub>2</sub>-, wherein p is an integer from 0 to 3,

X is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_1)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_1)$ -arylcarbonyl,  $(C_6-C_1)$ -aryloxycarbonyl,  $(C_6-C_1)$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_1)$ -aryl- $(C_1-C_6)$ -alkoxy or amino, with the aryl groups in X being pure carbocycles which are optionally substituted once or more than once.

 $X^1$  is  $(C_4-C_{14})$ -arylcarbonyl,  $(C_4-C_{14})$ -aryloxycarbonyl,  $(C_4-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_4-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy or R'-NH-C(=N-R"), where R' and R" have, independently of each other, the meanings of X and where the aryl groups in  $X^1$  are pure carbocycles which are optionally substituted once or more than once.

K is  $(C_1-C_6)$ -alkanediyl,  $(C_3-C_7)$ -cycloalkanediyl, phenylene, phenylene- $(C_1-C_6)$ -alkanediyl,  $(C_1-C_6)$ -alkanediylphenylene, phenylene- $(C_2-C_6)$ -alkenediyl or a divalent group of a 5- or 6-membered, saturated or unsaturated ring which contains 1 or 2 nitrogen atoms and may be substituted, once or twice, by  $(C_1-C_6)$ -alkyl or doubly bonded oxygen or sulfur."

See '415 patent at claim 1, columns 104-105.

Method claims 21-24 and 39-103 of the instant application comprise administering compounds as shown below.

Applicants respectfully submit that those compounds recited in the instant application are excluded by the claims of the '415 patent pursuant to the exclusion set forth above.

Specifically, the definition of  $R^1$  in claims 21, 23 and 103 comprises, *inter alia*, X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> and X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub> where p is 0, 1, 2 or 3. Within this definition, X<sup>1</sup> comprises X and R'-NH-C(=N-R") wherein R'and R" independently of one another have the meanings of X. Each of the possible combinations are discussed below in detail.

Compounds in which  $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> and X has any of the possible meanings except ( $R^8O$ )<sub>2</sub>P(O) and CN are covered by the disclaimer of the '415 patent. Those compounds in which  $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> and X is ( $R^8O$ )<sub>2</sub>P(O) or CN are not covered by the '415 patent. Specifically, the corresponding definitions of  $R^2$  and  $R^3$  in the '415 patent do not cover ( $R^8O$ )<sub>2</sub>P(O) or CN.

Similarly, compounds in which  $R^1$  is  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub> and  $X^1$  is R'-NH-C(=N-R") wherein R'and R" independently of one another have the meanings of X except for X being  $(R^8O)_2P(O)$  or CN are covered by the disclaimer in claim of the '415 patent. Again, when X is  $(R^8O)_2P(O)$  or CN, these compounds are not covered by the '415 patent since the corresponding definitions of  $R^2$  and  $R^3$  in the '415 patent do not cover  $(R^8O)_2P(O)$  or CN.

The third possibility is that wherein  $R^1$  is  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub> and  $X^1$  denotes X. These compounds are covered by the above disclaimer wherein  $R^1$  is  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub> and  $X^1$  is optionally substituted (C<sub>4</sub>-C<sub>14</sub>)-arylcarbonyl, (C<sub>4</sub>-C<sub>14</sub>)-aryloxycarbonyl, (C<sub>4</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>4</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy. Without acquiescing in the rejection, but to bring the case closer to allowance, Applicants have amended the definition of  $X^1$  in claims 21, 23 and 103 to conform to the definition of  $X^1$  in the above disclaimer of the '415 patent. Accordingly, Applicants have also amended dependant claims 39, 42, 71, 74, and 79 to replace X-NH-CH<sub>2</sub> with  $X^1$ -NH-CH<sub>2</sub> or add a definition of  $X^1$  which conforms to the definition of  $X^1$  in the disclaimer.

Applicants respectfully submit that claims 22 and 24 are patentably distinct from the claims in the '415 patent.

Based on the foregong, Applicants respectfully submit that the instant claims are patentably distinct from the claims of the '415 patent. Accordingly, reconsideration and withdrawal of the double patenting rejection over claims 7 and 8 of the '415 patent are respectfully requested.

• Provisional rejection of claims 21-24 and 39-103 under alleged double patenting over claims 25-28 of U.S. Serial No. 09/995,631.

Applicants respectfully request that the Examiner withdraw the provisional double patenting rejection in the instant case pursuant to M.P.E.P. § 804 ("The "provisional" double patenting rejection should continue to be made by the examiner in each application as long as there are conflicting claims in more than one application unless that "provisional" double patenting rejection is the only rejection remaining in one of the applications. If the "provisional" double patenting rejection in one application is the only rejection remaining in that application, the examiner should then withdraw that rejection and permit the application to issue as a patent, thereby converting the "provisional" double patenting rejection in the other application(s) into a double patenting rejection at the time the one application issues as a patent.")

## Conclusion

In view of the foregoing remarks, reconsideration of the application and indication of allowable subject matter are requested. If there are any issues remaining which the Examiner believes could be resolved through either a Supplemental Response or an Examiner's Amendment, the Examiner is respectfully requested to contact the undersigned at the number below.

Respectfully submitted,

Date: October 11, 2002

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26633

PATENT TRADEMARK OFFICE

# **Marked Copy**

21. (Three Times Amended) A method for suppressing inflammation comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:

in which

W is  $R^{1}$ -A-C( $R^{13}$ );

Y is a carbonyl;

Z is  $N(R^0)$ ;

A is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_3-C_7)$ -cycloalkylene, phenylene, phenylene- $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylenephenyl, phenylene- $(C_2-C_6)$ -alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by  $(C_1-C_6)$  alkyl or doubly bonded oxygen or sulfur;

is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene<sub>2</sub>[.] phenylene, phenylene- $(C_1-C_3)$ -alkyl, [ $(C_1-C_3)$ -alkylenephenyl.]  $(C_1-C_3)$ -alkylenephenyl where the bivalent  $(C_1-C_6)$ -alkylene radical can be unsubstituted or substituted by a radical <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl, [ $(C_2-C_8)$ -alkenyl]  $(C_2-C_8)$ -alkenyl,  $(C_3-C_{10})$ -cycloalkyl,  $(C_3-C_{10})$ -cycloalkyl- $(C_1-C_6)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl- $(C_1-C_6)$ -alkyl optionally substituted in the heteroaryl radical;

D is 
$$C(R^2)(R^3)$$
,  $N(R^3)$  or  $CH=C(R^3)$ ;

E is 
$$R^{10}CO$$
;

- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^0$ is( $C_3$ - $C_{12}$ )-cycloalkyl, ( $C_3$ - $C_{12}$ )-cycloalkyl-( $C_1$ - $C_8$ )-alkyl, ( $C_6$ - $C_{12}$ )-bicycloalkyl, [( $C_6$ - $C_{12}$ )-bicycloalkyl- $(C_1-C_8)$ -tricycloalkyl]  $(C_6-C_{12})$ -bicycloalkyl- $(C_1-C_8)$ -alkyl,  $(C_6-C_{12})$ tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted [(C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>- $C_8$ )-alkyl ( $C_6$ - $C_{14}$ )-aryl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>- $C_8$ )-alkyl-CO,  $(C_6-C_{12})$ bicycloalkyl-CO,  $(C_6-C_{12})$ -bicycloalkyl- $(C_1-C_8)$ -alkyl-CO,  $(C_6-C_{12})$ tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, [(C<sub>3</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>] (C<sub>3</sub>-C<sub>12</sub>)  $\underline{C_{12}}$ -cycloalkyl- $\underline{S(O)}_n$ ,  $\underline{(C_3-C_{12})}$ -cycloalkyl- $\underline{(C_1-C_8)}$ -alkyl- $\underline{S(O)}_n$ ,  $\underline{(C_6-C_{12})}$ -bicycloalkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -bicycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -tricycloalkyl- $S(O)_n$ ,  $(C_6-C_{12})$ tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl- $(C_1-C_8)$ -alkyl- $S(O)_n$  optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)<sub>n</sub> or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;
- R<sup>1</sup> is [X-NH-C(=NH)-(CH<sub>2</sub>)<sub>n</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>n</sub>] <u>X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub></u>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_{18})$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;

- [has one of the meanings of X] is optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl optionally substituted in the aryl radical,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy optionally substituted in the aryl radical, or [is] R'-NH-C(=N-R"), where R' and R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, [(C<sub>6</sub>-C<sub>14</sub>)-alkyl] (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;
- is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkenylcarbonyl,  $(C_2-C_8)$ -alkynylcarbonyl, pyridyl,  $(C_3-C_8)$ -alkynylcarbonyl,  $(C_3-C_8)$ -alkynylcarbonylcarbonyl,  $(C_3-C_8)$ -alkynylcarbonylcarbonylcarbonylcarbonylcarbonyl,  $(C_3-C_8)$ -alkynylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcar
- is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;  $R^4$ , is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_1)$ -alkylcarbonylamino- $(C_2-C_1)$ -alkylaminocarbonyl,  $(C_3-C_1)$ -aryl- $(C_1-C_3)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_1)$ -alkoxy,  $(C_1-C_1)$ -alkoxycarbonyl, optionally substituted  $(C_3-C_3)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $(C_3-C_3)$ -
- is optionally substituted ( $C_6$ - $C_{14}$ )-aryl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms **selected** from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6$ CO-, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals **selected** from the group consisting of ( $C_1$ - $C_{18}$ )-alkyl, ( $C_1$ - $C_{18}$ )-alkoxy, halogen, nitro, amino and **[trifluoromethyh] trifluoromethyl**;

- $R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups;
- is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$  alkoxycarbonyl,  $(C_1-C_{18})$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl-aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted[, **preferably monosubstituted**] by identical or different radicals **selected** from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N- $(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;
- $R^8$  is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;

 $R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;

 $R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;

 $R^{14}$  is hydrogen or  $(C_1\text{-}C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1\text{-}C_{18})\text{-alkyl})\text{-aminocarbonyl}$ , amino- $(C_2\text{-}C_{18})$ -alkylaminocarbonyl, amino- $(C_1\text{-}C_3)$ -alkylphenyl- $(C_1\text{-}C_3)$ -alkylaminocarbonyl,  $(C_1\text{-}C_{18})$ -alkylcarbonylamino- $(C_1\text{-}C_3)$ -alkylphenyl- $(C_1\text{-}C_3)$ -alkylaminocarbonyl,  $(C_1\text{-}C_1\text{-}C_1)$ -alkylcarbonyl-amino- $(C_2\text{-}C_1)$ -alkylaminocarbonyl,  $(C_6\text{-}C_1)$ -aryl- $(C_1\text{-}C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1\text{-}C_1)$ -alkoxy,  $(C_1\text{-}C_1)$ -alkoxycarbonyl, optionally substituted  $(C_3\text{-}C_8)$ -cycloalkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1\text{-}C_3)$ -alkyl, tetrazolyl- $(C_1\text{-}C_3)$ -alkyl, halogen, nitro,

$$R^{15}$$
 is  $R^{16}$ -(C<sub>1</sub>-C<sub>6</sub>)-alkyl or  $R^{16}$ ;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1; e is 0, 1, 2, 3, 4, 5 or 6; f is 0; g is 0, 1, 2, 3, 4,5 or 6; h is 0, 1, 2, 3, 4, 5 or 6; in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

22. (Twice Amended) A method for antagonizing VLA-4 comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:

in which

W is 
$$R^{1}$$
-A-C( $R^{13}$ );

Y is a carbonyl;

Z is 
$$N(R^0)$$
;

A is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_3-C_7)$ -cycloalkylene, [phenylene, [phenylene- $(C_1-C_6)$ -alkyl] <u>phenylene- $(C_1-C_6)$ -alkyl</u>,  $(C_1-C_6)$ -alkylenephenyl, [.] phenylene- $(C_2-C_6)$ -alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by  $(C_1-C_6)$ -alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkylenephenyl, where the bivalent  $(C_1-C_6)$ -alkylene radical can be unsubstituted or substituted by a radical <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_3-C_{10})$ -cycloalkyl,  $(C_3-C_{10})$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl- $(C_1-C_6)$ -alkyl, optionally substituted in the heteroaryl radical;

- D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;
- E is  $R^{10}CO$ ;
- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $-(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^0$ is  $(C_3-C_{12})$ -cycloalkyl,  $(C_3-C_{12})$ -cycloalkyl- $(C_1-C_8)$ -alkyl,  $(C_6-C_{12})$ -bicycloalkyl,  $(C_6-C_{12})$ -bicycloalkyl  $C_{12}$ )-bicycloalkyl- $(C_1-C_8)$ -alkyl,  $(C_6-C_{12})$ -tricycloalkyl,  $(C_6-C_{12})$ -tricycloalkyl- $(C_1-C_8)$ -alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optional substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted in the aryl radical, optionally substituted heteroaryl- $S(O)_n$  or heteroaryl- $(C_1-C_8)$ -alkyl- $S(O)_n$ optionally substituted in the heteroaryl radical, where n is 1 or 2;
- $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_{18})$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;

- $X^1$  has one of the meanings of X or is R'-NH-C(=N-R"), where R' and R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- R<sup>3</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkynylcarbonyl, pyridyl,

 $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CON(CH_3)R^{14}$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$ ,  $CON(CH_3)R^{15}$  or  $CONHR^{15}$ ;

- is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;  $R^4$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $-(C_2-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $-(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;
- is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can he aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO, where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, halogen, nitro<sub>2</sub>[.] amino and trifluoromethyl;

- is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to [-NH-CR<sub>2</sub>-] -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of optionally protected free functional groups [free functional groups and/or where free functional groups can be protected by protective groups customary in peptide chemistry];
- is hydrogen,  $(C_1-C_{18}-alkyl, (C_6-C_{14})-aryl-(C_1-C_8)-alkyl, (C_1-C_{18})-alkylcarbonyl, (C_1-C_{18})-alkoxycarbonyl, (C_6-C_{14})-aryl-(C_1-C_8)-alkylcarbonyl or (C_6-C_{14})-aryl-(C_1-C_1)-aryl-(C_1-C_1)-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted,$ **preferably monosubstituted**, by identical or different radicals**selected** $from the group consisting of <math>(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C\_1-C\_8)-alkylated or N-((C\_6-C\_14)-aryl-(C\_1-C\_8)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;
- R<sup>8</sup> is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;

 $R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;

 $R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;

 $R^{14}$  is hydrogen or  $(C_1\text{-}C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1\text{-}C_{18})\text{-alkyl})\text{-aminocarbonyl}$ , amino- $(C_2\text{-}C_{18})$ -alkylaminocarbonyl, amino- $(C_1\text{-}C_3)$ -alkylphenyl- $(C_1\text{-}C_3)$ -alkylaminocarbonyl,  $(C_1\text{-}C_{18})$ -alkylcarbonylamino- $(C_1\text{-}C_3)$ -alkylphenyl- $(C_1\text{-}C_3)$ -alkylaminocarbonyl,  $(C_1\text{-}C_{18})$ -alkylcarbonyl-amino- $(C_2\text{-}C_{18})$ -alkylaminocarbonyl,  $(C_6\text{-}C_{14})$ -aryl- $(C_1\text{-}C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1\text{-}C_{18})$ -alkoxy,  $(C_1\text{-}C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3\text{-}C_8)$ -cycloalkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl,  $(C_1\text{-}C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1\text{-}C_3)$ -alkyl, tetrazolyl- $(C_1\text{-}C_3)$ -alkyl, halogen, nitro,

$$R^5$$
 is  $R^{16}$ -( $C_1$ - $C_6$ )-alkyl or  $R^{16}$ ;

 $R^{16}$  is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identifical or different substituents <u>selected</u> from the group consisting of ( $C_1$ - $C_4$ )-alkyl and oxo;

```
b, c, and d are 1;
e is 0, 1, 2, 3, 4, 5 or 6;
f is 0;
g is 0, 1, 2, 3, 4, 5 or 6;
h is 0, 1, 2, 3, 4, 5 or 6;
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in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

23. (Three Times Amended) A method for treating a disease or disorder selected from the group consisting of rheumatoid arthritis, inflammatory bowel disease, systemic lupus erythematosus, inflammatory disorders of the central nervous system, asthma, allergies, cardiovascular disorders, [ateriosclerosis] arteriosclerosis, restenoses, diabetes, damage to organ transplants, and malaria comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:

in which

W is  $[R'-A-C(R^{13})] R^1-A-C(R^{13});$ 

Y is a carbonyl;

Z is  $N(R^0)$ ;

A is a bivalent radical <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenephenyl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

B is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkylenephenyl, where the bivalent  $(C_1-C_6)$ -alkylene radical can be unsubstituted or substituted by a radical **selected** from the

group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_3-C_{10})$ -cycloalkyl- $(C_1-C_6)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl  $(C_1-C_6)$ -alkyl optionally substituted in the heteroaryl radical;

- D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH = C(R^3)$ ;
- E is  $R^{10}CO$ ;
- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $\mathbf{R}^{0}$ is (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub> C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C1-C8)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloal C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C6-C14)-aryl-CO, (C6-C14)-aryl-(C1-C8)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C1-C8)-alkyl-S(O)n, (C3-C12)-cycloalkyl-S(O)n, (C3-C12)-cycloa C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-bi C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>n</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)n or heteroaryl-(C1-C8)alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;
- $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_18)$ -alkylcarbonyloxy,  $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;

- [has one of the meanings of X] is optionally substituted ( $C_6$ - $C_{14}$ )-arylcarbonyl, optionally substituted ( $C_6$ - $C_{14}$ )-aryloxycarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxycarbonyl optionally substituted in the aryl radical, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxy optionally substituted in the aryl radical, or R'-NH-C(=N-R"), where R' and R" independently of one another have the meanings of X or is R'-NH-C(=N-R"), where R' a nd R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>) alkynylcarbonyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;
- is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^{4'}$ ;  $R^{4'}$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18}))$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$  alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;
- is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-[.] where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, [Halogen] halogen, nitro, amino and trifluoromethyl;

- $R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place <u>of</u> optionally protected free functional groups;
- R<sup>7</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted[, **preferably monosubstituted,**] by identical or different radicals <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;
- $R^8$  is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;
- R<sup>9</sup> is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;
- $R^{10}$  is hydroxyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;
- $R^{11}$  is hydrogen (C<sub>1</sub>-C<sub>18</sub>)-alkyl,  $R^{12}$ CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;

 $R^{12}$  is hydrogen (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkoxy which can also be substituted in the aryl radical, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryloxy, amino or mono- or di-((C<sub>1</sub>-C<sub>18</sub>)-alkyl)amino;

R<sup>13</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;

is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-(( $C_1-C_{18}$ )-alkyl)-aminocarbonyl, amino-( $C_2-C_{18}$ )-alkylaminocarbonyl, amino-( $C_1-C_3$ )-alkylphenyl-( $C_1-C_3$ )-alkylaminocarbonyl, ( $C_1-C_1$ )-alkylcarbonylamino-( $C_1-C_3$ )-alkylphenyl-( $C_1-C_3$ )-alkylaminocarbonyl, ( $C_1-C_1$ )-alkylcarbonyl-amino-( $C_2-C_1$ )-alkylaminocarbonyl, ( $C_6-C_1$ )-aryl-( $C_1-C_1$ )-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, ( $C_1-C_1$ )-alkoxy, ( $C_1-C_1$ )-alkoxycarbonyl, optionally substituted ( $C_3-C_8$ )-cycloalkyl, HOS(O)2-( $C_1-C_3$ )-alkyl,  $(R^8O)_2P(O)$ -( $C_1-C_3$ )-alkyl, tetrazolyl-( $C_1-C_3$ )-alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_1)$ -alkyl, tetrazolyl-( $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_1)$ -alkyl, tetrazolyl-( $(C_1-C_2)$ -alkyl, halogen,

$$R^{15}$$
 is  $R^{16}$ -(C<sub>1</sub>-C<sub>6</sub>)-alkyl or  $R^{16}$ ;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms selected from the group consisting of nitrogen[.] oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1;

f is 0;

in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

24. (Three Times Amended) A method for inhibiting adhesion and/or migration of leucocytes in diseases in which leucocyte adhesion and/or migration exhibits an undesired extent comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:

in which

W is 
$$R^1$$
-A-C( $R^{13}$ ) [<];

Y is a carbonyl;

Z is 
$$N(R^0)$$
;

A is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_3-C_7)$ -cycloalkylene, phenylene, phenylene- $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylenephenyl, phenylene- $(C_2-C_6)$ -alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by  $(C_1-C_6)$ -alkyl or doubly bonded oxygen or sulfur;

is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $[(C_1-C_3)$ -alkyl,]  $(C_1-C_3)$ -alkylenephenyl, where the bivalent  $(C_1-C_6)$ -alkylene radical can be unsubstituted or substituted by a radical <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_3-C_{10})$ -cycloalkyl,  $(C_3-C_{10})$ -cycloalkyl- $(C_1-C_6)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl

 $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl-( $C_1$ - $C_6$ )-alkyl optionally substituted in the heteroaryl radical;

D is 
$$C(R^2)(R^3)$$
,  $N(R^3)$  or  $CH=C(R^3)$ ;

E is 
$$R^{10}CO$$
;

R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;

 $R^0$ is (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>) alkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)- $C_8$ )-alkyl- $S(O)_n$ ] bicycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -tricycloalkyl- $S(O)_n$ ,  $(C_6-C_{12})$ tricycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_n$ ,  $(C_6-C_{14})$ -aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the aryl radical, optionally substituted heteroaryl-S(O)<sub>n</sub> or heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub> optionally substituted in the heteroaryl radical, where n is 1 or 2;

 $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;

X is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_1)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl, [optoinally] optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -

alkoxycarbonyl which can also be substituted in the aryl radical<sub>2</sub>[.] ( $R^8O$ )<sub>2</sub>P(O), cyano, hydroxyl, ( $C_1$ - $C_6$ )-alkoxy, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxy which can also be substituted in the aryl radical, or amino;

- X<sup>1</sup> has one of the meanings of X or is R'-NH-C(=N-R"), where R' and R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$  alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- is hydrogen,  $(C_1-C_8)$ -alkyl,[.] optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkynyl,  $(C_3-C_8)$ -
- is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;  $R^4$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;
- is optionally substituted ( $C_6$ - $C_{14}$ )-aryl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen,[,] oxygen and sulfur, a radical  $R^6$  or a radical  $R^6$ CO-,where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of ( $C_1$ - $C_{18}$ )-alkyl, ( $C_1$ - $C_{18}$ )-alkoxy, halogen, nitro, amino and trifluoromethyl;

- is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of <u>optionally protected free functional groups</u> [free functional groups and/or where free functional groups can be protected by protective groups customary in peptide chemistry];
- is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_1-C_{18})$ -alkoxycarbonyl,  $(C_6-C_{14})$ -arylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted[, **preferably monosubstituted**,] by identical or different radicals **selected** from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N- $(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;
- $R^8$  is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;

 $R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl,  $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;

 $R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;

R<sup>14</sup> is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl-aminocarbonyl, amino- $((C_2-C_{18})$ -alkylaminocarbonyl, [amino- $(C_1-C_4)$ -alkylphenyl- $(C_1-C_4)$ -alkylphenyl- $(C_1-C_4)$ -alkylphenyl- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonyl-amino- $(C_2-C_{18})$  alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $(C_1-C_1)$ -alkyl,  $(C_1-C_2)$ -alkyl,  $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_2)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_2)$ -alkyl,

$$R^{15}$$
 is  $R^{16}$ -(C<sub>1</sub>-C<sub>6</sub>)-alkyl or  $R^{16}$ ;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1; e is 0, 1, 2, 3, 4, 5 or 6; f is 0; g is 0, 1, 2, 3, 4, 5 or 6; h is 0, 1, 2, 3, 4, 5 or 6; in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.

- 39. (Twice Amended) The method as claimed in claim 21, wherein
- A is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_3-C_7)$ -cycloalkylene, phenylene, phenylene- $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylenephenyl, phenylene- $(C_2-C_6)$ -alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by  $(C_1-C_6)$ -alkyl or doubly bonded oxygen or sulfur;
- B is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkylene-phenyl;
- D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;
- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is X-NH-C(= NH)-(CH<sub>2</sub>)<sub>p</sub> or  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_{18})$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;
- $X^1$  [has one of the meanings of X] is optionally substituted ( $C_6$ - $C_{14}$ )-arylcarbonyl, optionally substituted ( $C_6$ - $C_{14}$ )-aryloxycarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxycarbonyl

optionally substituted in the aryl radical,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy optionally substituted in the aryl radical, or is R'-NH-C(=N-R") where R' and R" independently of one another have the meanings of X;

- R<sup>2</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- $R^3$  is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkenylcarbonyl,  $(C_2-C_8)$ -alkynylcarbonyl, pyridyl,  $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CON(CH_3)R^{14}$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$ ,  $CON(CH_3)R^{15}$  or  $CONHR^{15}$ ;
- $R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^{4'}$ ;
- $R^{4}$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-(( $C_1$ - $C_{18}$ )-alkylaminocarbonyl, amino-( $C_2$ - $C_{18}$ )-alkylaminocarbonyl, amino-( $C_1$ - $C_3$ )-alkylaminocarbonyl, ( $C_1$ - $C_{18}$ )-alkylcarbonylamino-( $C_1$ - $C_3$ )-alkylaminocarbonyl, ( $C_1$ - $C_{18}$ )-alkylcarbonylamino-( $C_2$ - $C_{18}$ )-alkylaminocarbonyl, ( $C_6$ - $C_1$ 4)-aryl-( $C_1$ - $C_8$ )-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, ( $C_1$ - $C_1$ 8)-alkoxy, ( $C_1$ - $C_1$ 8)-alkoxycarbonyl, optionally substituted ( $C_3$ - $C_8$ )-cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;
- is optionally substituted ( $C_6$ - $C_{14}$ )-aryl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6$ CO-, where the aryl radical and, independently thereof, the heterocyclic radial can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of ( $C_1$ - $C_{18}$ )-alkyl, ( $C_1$ - $C_{18}$ )-alkoxy, halogen, nitro, amino or trifluoromethyl;

- is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-( $C_1$ - $C_8$ )-alkylated or N-(( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of <u>optionally protected free functional groups</u> [free functional groups and/or where free functional groups can be protected by protective groups customary in peptide chemistry];
- is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono-or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N- $(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl $(C_1-C_8)$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;
- $R^8$  is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;

 $R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;

 $R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;

Is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_8)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$  alkylphenyl- $(C_1-C_3)$ alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro,

$$R^{15}$$
 is  $R^{16}$ -(C<sub>1</sub>-C<sub>6</sub>)-alkyl or  $R^{16}$ ;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 40. (Twice Amended) The method as claimed in claim 21, wherein  $R^0$  is  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 41. The method as claimed in claim 40, wherein R<sup>0</sup> is biphenylylmethyl, naphthylmethyl or benzyl each of which is unsubstituted or monosubstituted or polysubstituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 42. (Twice Amended) The method as claimed in claim 21, wherein
- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;
- R is hydrogen,  $(C_1-C_6)$ -alkyl or benzyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is X-NH-C(=NH), X-NH-C(=NX)-NH or [X-NH-CH<sub>2</sub>]  $X^1$ -NH-CH<sub>2</sub>;
- is optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl optionally substituted in the aryl radical,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy optionally substituted in the aryl radical, or R'-NH-C(=N-R"), where R' and R" independently of one another have the meanings of X;
- X is hydrogen,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_8)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl or hydroxyl; R<sup>2</sup> is hydrogen or  $(C_1-C_8)$ -alkyl;

- $R^3$  is  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, pyridyl,  $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$  and  $CONHR^{15}$ ; and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 43. The method as claimed in claim 21, wherein W is  $R^1$ -A-C( $R^{13}$ ) and  $R^{13}$  is ( $C_1$ -C<sub>6</sub>)-alkyl, ( $C_6$ -C<sub>14</sub>)-aryl-( $C_1$ -C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or ( $C_3$ -C<sub>8</sub>)-cycloalkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 44. The method as claimed in claim 21, wherein W is optionally substituted  $(C_6-C_{14})$ -aryl, COOR<sup>4</sup>, R<sup>11</sup>NH or CONHR<sup>14</sup>, where NHR<sup>14</sup> is the radical of an α-amino acid, its ω-amino- $(C_2-C_8)$ -alkylamide, its  $(C_1-C_8)$ -alkyl ester or its  $(C_6-C_{14})$ -aryl- $(C_1-C_4)$ -alkyl ester; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 45. (Amended) The method as claimed in claim 44, wherein the radical of the ocainino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine, tryptophan, and their (C<sub>1</sub>-C<sub>8</sub>)-alkyl esters or [(C<sub>6</sub>-C<sub>14</sub>)-alkyl] (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl esters; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 46. (Twice Amended) The method as claimed in claim 21, wherein
- Z is  $N(R^0)$ ;
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;

- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- R<sup>0</sup> is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $[(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- R<sup>3</sup> is the radical CONHR<sup>14</sup>;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;
- $R^{14}$  is methyl which is substituted by hydroxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_8)$ -alkoxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

47. (Amended) The method as claimed in claim 21, wherein simultaneously W is R<sup>1</sup>-A-C(R<sup>13</sup>) and therein A is a bivalent radical selected from the group consisting of methylene,

ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;

- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;
- R is hydrogen or  $(C_1-C_6)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;
- X is hydrogen, [( $C_1$ - $C_6$ )-alkylcarbonyhl] ( $C_1$ - $C_6$ )-alkylcarbonyl, ( $C_1$ - $C_6$ )-alkoxycarbonyl, ( $C_1$ - $C_8$ )-alkylcarbonyloxy-( $C_1$ - $C_6$ )-alkoxycarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxycarbonyl of hydroxyl;
- $R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>14</sub>)-aryl radicals;
- R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>, where R<sup>16</sup> is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents selected from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo; and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 48. The method as claimed in claim 47, wherein R<sup>15</sup> is an admantyl radical or an admantylmethyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 49. (Amended) The method as claimed in claim 21, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;
- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N$ -C(=NH),  $H_2N$ -C(=NH)-NH or  $H_2N$ - $CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>10</sub>)-aryl radicals;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;
- R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical;b, c and d are 1 and e and g are 0;h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 50. (Twice Amended) The method as claimed in claim 21, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is an unsubstituted or substituted methylene radical or ethylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which is optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl,  $(C_1-C_4)$ -alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a  $(C_1-C_4)$ -alkyl radical, a  $(C_2-C_4)$ -alkenyl radical, a  $(C_2-C_4)$ -alkynyl radical or a  $(C_5-C_6)$ -cycloalkyl radical;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl; b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 51. (Amended) The method as claimed in claim 21, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is an unsubstituted or substituted methylene radical or ethylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl  $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is  $R^{11}NH$ ;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl; b, c, d and e are 1 and g is 0; h is 0;

- 52. The method as claimed in claim 21 in which a substituted methylene radical or substituted ethylene radical representing the group B carries as a substituent a radical selected from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_1-C_6)$ -alkynyl,  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ -alkyl, optionally substituted  $(C_6-C_{10})$ -aryl- $(C_1-C_4)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl- $(C_1-C_4)$ -alkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 53. The method as claimed in claim 21, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a  $(C_1-C_8)$ -alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 54. (Amended) The method as claimed in claim 21, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a [(C<sub>1</sub>-C<sub>8</sub>)-alkyl] (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 55. (Twice Amended) The method as claimed in claim 22, wherein
- A is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_3-C_7)$ -cycloalkylene, phenylene, phenylene- $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylenephenyl, phenylene- $(C_2-C_6)$ -alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by  $(C_1-C_6)$ -alkyl or doubly bonded oxygen or sulfur;
- B is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkylene-phenyl;
- D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;

- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_{18})$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;
- X<sup>1</sup> has one of the meanings of X or is R'-NH-C(=N-R") where R' and R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- $R^3$  is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkynylcarbonyl, pyridyl,
- R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;
- $R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;

- $R^4$ , is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-(( $C_1$ - $C_1$ 8)-alkylaminocarbonyl, amino-( $C_2$ - $C_1$ 8)-alkylaminocarbonyl, amino-( $C_1$ - $C_3$ )-alkylaminocarbonyl, ( $C_1$ - $C_1$ 8)-alkylcarbonylamino-( $C_1$ - $C_3$ )-alkylphenyl-( $C_1$ - $C_3$ )-alkylaminocarbonyl, ( $C_1$ - $C_1$ 8)-alkylcarbonylamino-( $C_2$ - $C_1$ 8)-alkylaminocarbonyl, ( $C_6$ - $C_1$ 4)-aryl-( $C_1$ - $C_8$ )-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, ( $C_1$ - $C_1$ 8)-alkoxy, ( $C_1$ - $C_1$ 8)-alkoxycarbonyl, optionally substituted ( $C_3$ - $C_8$ )-cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;
- is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-, where the aryl radical and, independently thereof, the heterocyclic [radial] <u>radical</u> can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, halogen, nitro, amino or trifluoromethyl;
- is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of <u>optionally protected free functional groups</u> [free functional groups and/or where free functional groups can be protected by protective groups customary in peptide chemistry];
- $R^7$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_6-C_{14})$ -aryl-aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono-or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally  $N(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl $(C_1-C_8)$ -alkylated) azaamino acid or a

dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

- $R^8$  is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;
- $R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- R<sup>13</sup> is hydrogen,  $[(C_1-C_5)-alkyl]$   $(C_1-C_6)-alkyl$ ,  $(C_6-C_{14})-aryl-(C_1-C_8)-alkyl$  optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_8)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl

which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $HOS(O)_2$ - $(C_1-C_3)$ -alkyl,  $(R^8O)_2P(O)$ - $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $R^5$ ;

$$R^{15}$$
 is  $R^{16}$ -( $C_1$ - $C_6$ )-alkyl or  $R^{16}$ ;

R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 56. (Amended) The method as claimed in claim 22, wherein  $R^0$  is  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 57. The method as claimed in claim 56, wherein R<sup>0</sup> is biphenylylmethyl, naphthylmethyl or benzyl each of which is unsubstituted or monosubstituted or polysubstituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 58. (Twice Amended) The method as claimed in claim 22, wherein
- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;

- R is hydrogen,  $(C_1-C_6)$ -alkyl or benzyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;
- X is hydrogen,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_8)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl or hydroxyl;
- $R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl;
- is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CONHR<sup>14</sup>, CSNHR<sup>14</sup>, COOR<sup>15</sup> and CONHR<sup>15</sup>; and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 59. The method as claimed in claim 22, wherein W is  $R^1$ -A-C( $R^{13}$ ) and  $R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 60. The method as claimed in claim 22, wherein  $R^3$  is optionally substituted  $(C_6-C_{14})$ -aryl,  $COOR^4$ ,  $R^{11}NH$  or  $CONHR^{14}$ , where -NHR<sup>14</sup> is the radical of an  $\alpha$ -amino acid, its  $\omega$ -amino- $(C_2-C_8)$ -alkylamide, its  $(C_1-C_8)$ -alkyl ester or its  $(C_6-C_{14})$ -aryl- $(C_1-C_4)$ -alkyl ester; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 61. (Amended) The method as claimed in claim 60, wherein the radical of the  $\alpha$ -amino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine,

tryptophan, and their  $(C_1-C_8)$ -alkyl esters or  $[(C_6-C_{14})$ -alkyl]  $(C_6-C_{14})$ -aryl- $(C_1-C_4)$ -alkyl esters; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 62. (Twice Amended) The method as claimed in claim 22, wherein
- Z is  $N(R^0)$ ;
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;
- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- R<sup>0</sup> is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $[(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N$ -C(=NH),  $H_2N$ -C(=NH)-NH or  $H_2N$ -CH<sub>2</sub>;
- R<sup>2</sup> is hydrogen;
- R<sup>3</sup> is the radical CONHR<sup>14</sup>;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;
- $R^{14}$  is methyl which is substituted by hydroxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_4)$ -alkyl, phenyl and  $(C_1-C_4)$ -

 $C_8$ )-alkoxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl;

b, c and d are I and e and g are 0;

h is 1 or 2;

- 63. (Twice Amended) The method as claimed in claim 22, wherein simultaneously W is R<sup>1</sup>-A-C(R<sup>13</sup>) and therein A is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;
- R is hydrogen or  $(C_1-C_6)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;
- $\label{eq:condition} X \qquad \text{is hydrogen, } (C_1\text{-}C_6)\text{-alkylcarbonyl, } (C_1\text{-}C_6)\text{-alkoxycarbonyl, } (C_1\text{-}C_6)\text{-alkoxycarbonyl, } (C_6\text{-}C_{14})\text{-aryl-}(C_1\text{-}C_6)\text{-alkoxycarbonyl or hydroxyl; }$
- $R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>14</sub>)-aryl radicals;
- R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>, where R<sup>16</sup> is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to

four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents **selected** from the group consisting of  $(C_1-C_4)$ -alkyl and oxo;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 64. The method as claimed in claim 63, wherein R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 65. (Amended) The method as claimed in claim 22, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;
- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>10</sub>)-aryl radicals;

- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;
- R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical;
  b, c and d are l and e and g are 0;
  h is 1 or 2;

- 66. (Twice Amended) The method as claimed in claim 22, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is an unsubstituted or substituted methylene radical or ethylene radical; [**D** is  $C(R^2)(R^3)$ ];
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which is optionally substituted in the aryl radical;
- $R^1$  is  $H_2N$ -C(=NH),  $H_2N$ -C(=NH)-NH or  $H_2N$ - $CH_2$ ;
- R<sup>2</sup> is hydrogen;
- R<sup>3</sup> is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals <u>selected</u> from the group

consisting of  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl,  $(C_1-C_4)$ -alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a  $(C_1-C_4)$ -alkyl radical, a  $(C_2-C_4)$ -alkenyl radical, a  $(C_2-C_4)$ -alkynyl radical or a  $(C_5-C_6)$ -cycloalkyl radical;  $(C_1-C_8)$ -alkoxy;

$$R^{13}$$
 is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;  
b, c and d are 1 and e and g are 0;  
h is 1 or 2;

- 67. (Amended) The method as claimed in claim 22, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is an unsubstituted or substituted methylene radical or ethylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- R<sup>0</sup> is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $[(C_6-C_{14})$ -aryl  $(C_1-C_8)$ -alkyl,  $[(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N$ -C(=NH),  $H_2N$ -C(=NH)-NH or  $H_2N$ - $CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is  $R^{11}NH$ ;

 $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;

R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl; b, c, d and e are 1 and g is 0; h is 0;

- 68. The method as claimed in claim 22 in which a substituted methylene radical or substituted ethylene radical representing the group B carries as a substituent a radical selected from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ -alkynyl,  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ -alkyl, optionally substituted  $(C_6-C_{10})$ -aryl- $(C_1-C_4)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl- $(C_1-C_4)$ -alkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 69. The method as claimed in claim 22, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 70. The method as claimed in claim 22, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a  $(C_1-C_6)$ -alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 71. (Twice Amended) The method as claimed in claim 23, wherein
- A is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_3-C_7)$ -cycloalkylene, phenylene, phenylene- $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylenephenyl, phenylene- $(C_2-C_6)$ -alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which

can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;

- B is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkylene-phenyl;
- D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;
- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_1)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;
- [has one of the meanings of X] is optionally substituted ( $C_6$ - $C_{14}$ )-arylcarbonyl, optionally substituted ( $C_6$ - $C_{14}$ )-aryloxycarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxycarbonyl optionally substituted in the aryl radical, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxy optionally substituted in the aryl radical, or is R'-NH-C(=N-R") where R' and R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;

- is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkenylcarbonyl,  $(C_2-C_8)$ -alkynylcarbonyl, pyridyl,  $(C_3-C_8)$ -alkynylcarbonyl,  $(C_3-C_8)$ -alkynylcarbonylcarbonyl,  $(C_3-C_8)$ -alkynylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbonylcarbon
- $R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;
- $R^{4'}$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-(( $C_1$ - $C_{18}$ )-alkyl)aminocarbonyl, amino-( $C_2$ - $C_{18}$ )-alkylaminocarbonyl, amino-( $C_1$ - $C_3$ )-alkylphenyl-( $C_1$ - $C_3$ )-alkylaminocarbonyl, ( $C_1$ - $C_{18}$ )-alkylcarbonylamino-( $C_1$ - $C_3$ )-alkylphenyl-( $C_1$ - $C_3$ )-alkylaminocarbonyl, ( $C_1$ - $C_{18}$ )-alkylcarbonylamino-( $C_2$ - $C_{18}$ )-alkylaminocarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto, ( $C_1$ - $C_{18}$ )-alkoxy, ( $C_1$ - $C_{18}$ )-alkoxycarbonyl, optionally substituted ( $C_3$ - $C_8$ )-cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $R^5$ ;
- is optionally substituted ( $C_6$ - $C_{14}$ )-aryl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6$ CO-, where the aryl radical and, independently thereof, the heterocyclic [radial] <u>radical</u> can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of ( $C_1$ - $C_{18}$ )-alkyl, ( $C_1$ - $C_{18}$ )-alkoxy, halogen, nitro, amino or trifluoromethyl;
- $R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of <u>optionally protected free functional groups</u> [free functional groups and/or where free functional groups can be protected by protective groups customary in peptide chemistry];

- is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_1-C_{18})$ -alkoxycarbonyl,  $(C_6-C_{14})$ -arylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono-or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally  $N(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl $(C_1-C_8)$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;
- $R^8$  is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;
- $R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;

- $R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- R<sup>14</sup> is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_8)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro,
- $R^{15}$  is  $R^{16}$ -(C<sub>1</sub>-C<sub>6</sub>)-alkyl or  $R^{16}$ ;
- R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;
- b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 72. (Amended) The method as claimed in claim 23, wherein  $R^0$  is  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 73. (Amended) The method as claimed in claim 73, wherein R<sup>0</sup> is biphenylylmethyl, naphthylmethyl or benzyl each of which is unsubstituted or monosubstituted or polysubstituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 74. (Twice Amended) The method as claimed in claim 23, wherein
- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;
- R is hydrogen,  $(C_1-C_6)$ -alkyl or benzyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or [X-NH-CH<sub>2</sub>]  $\underline{X}^1$ -NH-CH<sub>2</sub>;
- $X^1$  is optionally substituted ( $C_6$ - $C_{14}$ )-arylcarbonyl, optionally substituted ( $C_6$ - $C_{14}$ )-aryloxycarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxycarbonyl optionally substituted in the aryl radical, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxy optionally substituted in the aryl radical, or  $C_6$ - $C_1$ - $C_1$ - $C_1$ - $C_2$ - $C_3$ -alkoxy optionally substituted in the aryl radical, or  $C_1$ - $C_1$ - $C_2$ - $C_3$ -alkoxy optionally of one another have the meanings of  $C_1$ - $C_2$ - $C_3$ - $C_4$ - $C_5$ - $C_4$ - $C_5$ -
- X is hydrogen,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_8)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl or hydroxyl;
- $R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl;
- $R^3$  is  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, pyridyl,  $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$  and  $CONHR^{15}$ ;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 75. The method as claimed in claim 23, wherein W is  $R^1$ -A-C( $R^{13}$ ) and  $R^{13}$  is ( $C_1$ -C<sub>6</sub>)-alkyl, ( $C_6$ -C<sub>14</sub>)-aryl-( $C_1$ -C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or ( $C_3$ -C<sub>8</sub>)-cycloalkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 76. The method as claimed in claim 23, wherein  $R^3$  is optionally substituted  $(C_6-C_{14})$ -aryl,  $COOR^4$ ,  $R^{11}NH$  or  $CONHR^{14}$ , where -NHR<sup>14</sup> is the radical of an  $\alpha$ -amino acid, its  $\omega$ -amino- $(C_2-C_8)$ -alkylamide, its  $(C_1-C_8)$ -alkyl ester or its  $(C_6-C_{14})$ -aryl- $(C_1-C_4)$ -alkyl ester; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 77. (Amended) The method as claimed in claim [77]  $\underline{76}$ , wherein the radical of the  $\alpha$ -amino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine, tryptophan, and their ( $C_1$ - $C_8$ )-alkyl esters or [( $C_6$ - $C_{14}$ )-alkyl] ( $\underline{C_6}$ - $\underline{C_{14}}$ )-aryl-( $\underline{C_1}$ - $\underline{C_4}$ )-alkyl esters; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 78. (Twice Amended) The method as claimed in claim 23, wherein
- Z is  $N(R^0)$ ;
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;
- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;

- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- R<sup>0</sup> is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $[(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- R<sup>3</sup> is the radical CONHR<sup>14</sup>;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;
- $R^{14}$  is methyl which is substituted by hydroxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_8)$ -alkoxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl;

b, c and d are l and e and g are 0;

h is 1 or 2;

- 79. (Twice Amended) The method as claimed in claim 23, wherein simultaneously W is R<sup>1</sup>-A-C(R<sup>13</sup>) and therein A is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;

- R is hydrogen or  $(C_1-C_6)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- R<sup>1</sup> is X-NH-C(=NH), X-NH-C(=NX)-NH or [X-NH-CH<sub>2</sub>]  $X^1$ -NH-CH<sub>2</sub>;
- is optionally substituted ( $C_6$ - $C_{14}$ )-arylcarbonyl, optionally substituted ( $C_6$ - $C_{14}$ )-aryloxycarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxycarbonyl optionally substituted in the aryl radical, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxy optionally substituted in the aryl radical, or R'-NH-C(=N-R"), where R' and R" independently of one another have the meanings of X;
- X is hydrogen,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_8)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl or hydroxyl;
- $R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>14</sub>)-aryl radicals;
- $R^{15}$  is  $R^{16}$ -( $C_1$ - $C_6$ )-alkyl or  $R^{16}$ , where  $R^{16}$  is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of ( $C_1$ - $C_4$ )-alkyl and oxo;
- and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 80. (Amended) The method as claimed in claim [80] <u>79</u>, wherein R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 81. (Twice Amended) The method as claimed in claim 23, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;
- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N$ -C(=NH),  $H_2N$ -C(=NH)-NH or  $H_2N$ - $CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>10</sub>)-aryl radicals;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;
- R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical;
  b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 82. (Twice Amended) The method as claimed in claim 23, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is an unsubstituted or substituted methylene radical or ethylene radical;

D is  $C(R^2)(R^3)$ ;

- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which is optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl,  $(C_1-C_4)$ -alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a  $(C_1-C_4)$ -alkyl radical, a  $(C_2-C_4)$ -alkenyl radical, a  $(C_2-C_4)$ -alkynyl radical or a  $(C_5-C_6)$ -cycloalkyl radical;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 83. (Amended) The method as claimed in claim 23, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is an unsubstituted or substituted methylene radical or ethylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl  $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is  $R^{11}NH$ ;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl; b, c, d and e are 1 and g is 0; h is 0;

- 84. The method as claimed in claim 23 in which a substituted methylene radical or substituted ethylene radical representing the group B carries as a substituent a radical selected from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ -alkynyl,  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ -alkyl, optionally substituted  $(C_6-C_{10})$ -aryl- $(C_1-C_4)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl- $(C_1-C_4)$ -alkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 85. The method as claimed in claim 23, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 86. (Amended) The method as claimed in claim 23, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a [(C<sub>3</sub>-C<sub>6</sub>)-alkyl] (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 87. (Twice Amended) The method as claimed in claim 24, wherein
- A is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_3-C_7)$ -cycloalkylene, phenylene, phenylene- $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylenephenyl, phenylene- $(C_2-C_6)$ -alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by  $(C_1-C_6)$ -alkyl or doubly bonded oxygen or sulfur;
- B is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkylene-phenyl;

- D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH=C(R^3)$ ;
- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or  $X^1$ -NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_{18})$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;
- $X^1$  has one of the meanings of X or is R'-NH-C(=N-R") where R' and R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- $R^3$  is hydrogen,  $(C_1-C_8)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical,  $(C_3-C_8)$ -cycloalkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_2-C_8)$ -alkenylcarbonyl, pyridyl,  $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CON(CH_3)R^{14}$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$ ,  $CON(CH_3)R^{15}$  or  $CONHR^{15}$ ;
- $R^4$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;
- [ $\mathbf{R}^4$ ']  $\mathbf{R}^4$ ' is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di-(( $C_1$ - $C_{18}$ )-alkyl)aminocarbonyl, amino-( $C_2$ - $C_{18}$ )-alkylaminocarbonyl, amino-( $C_1$ - $C_3$ )-alkylaminocarbonyl, ( $C_1$ - $C_1$ )-alkylamino-( $C_1$ - $C_3$ - $C_1$ - $C_3$ - $C_1$ - $C_1$ - $C_2$ - $C_1$ - $C_1$ - $C_2$ -

alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl, halogen, nitro, trifluoromethyl or the radical  $\mathbb{R}^5$ ;

- is optionally substituted ( $C_6$ - $C_{14}$ )-aryl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur, a radical  $R^6$  or a radical  $R^6$ CO-, where the aryl radical and, independently thereof, the heterocyclic radial can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of ( $C_1$ - $C_{18}$ )-alkyl, ( $C_1$ - $C_{18}$ )-alkoxy, halogen, nitro, amino or trifluoromethyl;
- $R^6$  is  $R^7R^8N$ ,  $R^7O$  or  $R^7S$  or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-( $C_1$ - $C_8$ )-alkylated or N-(( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_8$ )-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place of <u>optionally protected free functional groups</u> [free functional groups and/or where free functional groups can be protected by protective groups customary in peptide chemistry];
- $R^7$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl,  $(C_1-C_{18})$ -alkylcarbonyl,  $(C_1-C_{18})$ -alkoxycarbonyl,  $(C_6-C_{14})$ -arylcarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_3)$ -alkylcarbonyl or  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl-radicals can be mono-or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_1-C_8)$ -alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally  $N(C_1-C_8)$ -alkylated or N- $((C_6-C_{14})$ -aryl $(C_1-C_8)$ -alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;

- R<sup>8</sup> is hydrogen,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which can also be substituted in the aryl radical;
- $R^9$  is hydrogen, aminocarbonyl,  $(C_1-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_8)$ -cycloalkylaminocarbonyl, optionally substituted  $(C_6-C_{14})$ -arylaminocarbonyl,  $(C_1-C_{18})$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_3-C_8)$ -cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $R^{12}CO$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_2$ ,  $(C_1-C_{18})$ -alkyl- $S(O)_2$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;
- $R^{12}$  is hydrogen,  $(C_1-C_{18})$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{13}$  is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical or  $(C_3-C_8)$ -cycloalkyl;
- R<sup>14</sup> is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_8)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro,

 $R^{15}$  is  $R^{16}$ -(C<sub>1</sub>-C<sub>6</sub>)-alkyl or  $R^{16}$ ;

- R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;
- b, c, and d independently of one another are 0 or 1, but cannot all simultaneously be 0; e, g and h independently of one another are 0, 1, 2, 3, 4, 5 or 6; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 88. (Amended) The method as claimed in claim 24, wherein  $R^0$  is  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 89. The method as claimed in claim [89] 88, wherein R<sup>0</sup> is biphenylylmethyl, naphthylmethyl or benzyl each of which is unsubstituted or monosubstituted or polysubstituted in the aryl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 90. (Twice Amended) The method as claimed in claim 24, wherein
- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene, or is substituted methylene or ethylene;
- R is hydrogen,  $(C_1-C_6)$ -alkyl or benzyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;

- $R^1$  is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;
- X is hydrogen,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_8)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl or hydroxyl; is hydrogen or  $(C_1-C_8)$ -alkyl;
- $R^3$  is (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, pyridyl,  $R^{11}NH$ ,  $R^4CO$ ,  $COOR^4$ ,  $CONHR^{14}$ ,  $CSNHR^{14}$ ,  $COOR^{15}$  and  $CONHR^{15}$ ;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 91. The method as claimed in claim 24, wherein W is  $R^1$ -A-C( $R^{13}$ ) and  $R^{13}$  is ( $C_1$ -C<sub>6</sub>)-alkyl, ( $C_6$ -C<sub>14</sub>)-aryl-( $C_1$ -C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or ( $C_3$ -C<sub>8</sub>)-cycloalkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 92. The method as claimed in claim 24, wherein  $R^3$  is optionally substituted  $(C_6-C_{14})$ -aryl,  $COOR^4$ ,  $R^{11}NH$  or  $CONHR^{14}$ , where  $-NHR^{14}$  is the radical of an  $\alpha$ -amino acid, its  $\omega$ -amino- $(C_2-C_8)$ -alkylamide, its  $(C_1-C_8)$ -alkyl ester or its  $(C_6-C_{14})$ -aryl- $(C_1-C_4)$ -alkyl ester; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 93. (Amended) The method as claimed in claim [93] <u>92</u>, wherein the radical of the  $\alpha$ -amino acid is selected from the group consisting of valine, lysine, phenylglycine, phenylalanine, tryptophan, and their (C<sub>1</sub>-C<sub>8</sub>)-alkyl esters or [(C<sub>1</sub>-C<sub>14</sub>)-alkyl] (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>4</sub>)-alkyl esters; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 94. (Twice Amended) The method as claimed in claim 24, wherein
- Z is  $N(R^0)$ ;

- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;
- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- R<sup>0</sup> is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $[(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- R<sup>3</sup> is the radical CONHR<sup>14</sup>;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl;
- $R^{14}$  is methyl which is substituted by hydroxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl, or is methyl which is substituted by  $(C_1-C_8)$ -alkoxycarbonyl and a radical <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl, phenyl and benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

- 95. (Twice Amended) The method as claimed in claim 24, wherein simultaneously W is R<sup>1</sup>-A-C(R<sup>13</sup>) and therein A is a bivalent radical selected from the group consisting of methylene, ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is a bivalent radical <u>selected</u> from the group consisting of methylene, ethylene, trimethylene, tetramethylene, vinylene, phenylene or is substituted methylene or ethylene;
- R is hydrogen or  $(C_1-C_6)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is X-NH-C(=NH), X-NH-C(=NX)-NH or X-NH-CH<sub>2</sub>;
- X is hydrogen,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_8)$ -alkylcarbonyloxy- $(C_1-C_6)$ -alkoxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl or hydroxyl;
- $R^2$  is hydrogen or  $(C_1-C_8)$ -alkyl;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>14</sub>)-aryl radicals;
- R<sup>15</sup> is R<sup>16</sup>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or R<sup>16</sup>, where R<sup>16</sup> is a 7- to 12-membered bridged bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

and e, g and h independently of one another are the numbers 0, 1, 2 or 3 and b, c and d are 1; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 96. (Amended) The method as claimed in claim [96] <u>95</u>, wherein [R'5] <u>R<sup>15</sup></u> is an adamantyl radical or an adamantylmethyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 97. (Amended) The method as claimed in claim 24, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene or phenylenemethyl;
- B is an unsubstituted or substituted methylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is CONHR<sup>15</sup> or CONHR<sup>14</sup> where  $R^{14}$  herein is a (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical which is unsubstituted or substituted by one or more (C<sub>6</sub>-C<sub>10</sub>)-aryl radicals;
- $R^{10}$  is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;
- $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;
- R<sup>15</sup> is an adamantyl radical or an adamantylmethyl radical;
  b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

98. (Twice Amended) The method as claimed in claim 24, wherein

A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylene, phenylenemethyl;

- B is an unsubstituted or substituted methylene radical or ethylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl which is optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- $R^3$  is an unsubstituted phenyl radical or naphthyl radical, a phenyl radical or naphthyl radical substituted by one, two or three identical or different radicals <u>selected</u> from the group consisting of  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy, hydroxyl, halogen, trifluoromethyl, nitro, methylenedioxy, ethylenedioxy, hydroxycarbonyl,  $(C_1-C_4)$ -alkoxycarbonyl, aminocarbonyl, cyano, phenyl, phenoxy, benzyl and benzyloxy, a pyridyl radical, a  $(C_1-C_4)$ -alkyl radical, a  $(C_2-C_4)$ -alkenyl radical, a  $(C_2-C_4)$ -alkynyl radical or a  $(C_5-C_6)$ -cycloalkyl radical;

 $R^{10}$  is hydroxyl or (C<sub>1</sub>-C<sub>8</sub>)-alkoxy;

 $R^{13}$  is  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$ -cycloalkyl or benzyl;

b, c and d are 1 and e and g are 0;

h is 1 or 2;

wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.

- 99. (Amended) The method as claimed in claim 24, wherein
- A is ethylene, trimethylene, tetramethylene, pentamethylene, cyclohexylene, phenylene, phenylenemethyl;
- B is an unsubstituted or substituted methylene radical or ethylene radical;
- D is  $C(R^2)(R^3)$ ;
- R is hydrogen or  $(C_1-C_4)$ -alkyl;
- $R^0$  is  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl  $(C_1-C_8)$ -alkyl, optionally substituted in the aryl radical;
- $R^1$  is  $H_2N-C(=NH)$ ,  $H_2N-C(=NH)-NH$  or  $H_2N-CH_2$ ;
- R<sup>2</sup> is hydrogen;
- R<sup>3</sup> is R<sup>11</sup>NH;
- $R^{10}$  is hydroxyl or  $(C_1-C_8)$ -alkoxy;
- $R^{13}$  is (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl or benzyl; b, c, d and e are 1 and g is 0; h is 0;

- 100. The method as claimed in claim 24 in which a substituted methylene radical or substituted ethylene radical representing the group B carries as a substituent a radical selected from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_6)$ -alkenyl,  $(C_2-C_6)$ -alkynyl,  $(C_3-C_8)$ -cycloalkyl,  $(C_3-C_8)$ -cycloalkyl- $(C_1-C_4)$ -alkyl, optionally substituted  $(C_6-C_{10})$ -aryl- $(C_1-C_4)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl- $(C_1-C_4)$ -alkyl; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 101. The method as claimed in claim 24, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a (C<sub>1</sub>-C<sub>8</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 102. The method as claimed in claim 24, in which B is an unsubstituted methylene radical or a methylene radical which is substituted by a (C<sub>1</sub>-C<sub>6</sub>)-alkyl radical; wherein said compound or compounds may be present in all their stereoisomeric forms and mixtures thereof in any ratio, and/or as their physiologically tolerable salts.
- 103. (Amended) A method for treating melanoma, comprising administering to a subject in need thereof an effective amount of a preparation comprising an effective amount of at least one compound of the formula I:

in which

W is  $[R'-A-C(R^{13})]$   $R^1-A-C(R^{13})$ ;

- Y is a carbonyl;
- Z is  $N(R^0)$ ;
- A is a bivalent radical <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)-alkylene, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkylene, phenylene, phenylene-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylenephenyl, phenylene-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or a bivalent radical of a 5- or 6-membered saturated or unsaturated ring which can contain 1 or 2 nitrogen atoms and can be mono- or disubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl or doubly bonded oxygen or sulfur;
- B is a bivalent radical <u>selected</u> from the group consisting of  $(C_1-C_6)$ -alkylene,  $(C_2-C_6)$ -alkenylene, phenylene, phenylene- $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkylenephenyl, where the bivalent  $(C_1-C_6)$ -alkylene radical can be unsubstituted or substituted by a radical <u>selected</u> from the group consisting of  $(C_1-C_8)$ -alkyl,  $(C_2-C_8)$ -alkenyl,  $(C_2-C_8)$ -alkynyl,  $(C_3-C_{10})$ -cycloalkyl- $(C_1-C_6)$ -alkyl, optionally substituted  $(C_6-C_{14})$ -aryl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl and heteroaryl  $(C_1-C_6)$ -alkyl optionally substituted in the heteroaryl radical;
- D is  $C(R^2)(R^3)$ ,  $N(R^3)$  or  $CH = C(R^3)$ ;
- E is  $R^{10}CO$ :
- R is hydrogen,  $(C_1-C_8)$ -alkyl,  $(C_3-C_8)$ -cycloalkyl, optionally substituted  $(C_6-C_{14})$ -aryl or  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl optionally substituted in the aryl radical;
- is (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, optionally substituted heteroaryl, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the heteroaryl radical, CHO, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-CO, (C<sub>6</sub>-C<sub>12</sub>)-bicycloalkyl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-CO, (C<sub>6</sub>-C<sub>12</sub>)-tricycloalkyl-CO optionally substituted in the aryl radical, optionally substituted heteroaryl-CO, heteroaryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl-CO optionally substituted in the heteroaryl radical, (C<sub>1</sub>-C<sub>8</sub>)-alkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>, (C<sub>3</sub>-C<sub>12</sub>)-cycloalkyl-S(O)<sub>n</sub>

- $C_{12}$ )-cycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -bicycloalkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -bicycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ ,  $(C_6-C_{12})$ -tricycloalkyl- $(C_1-C_8)$ -alkyl- $S(O)_n$ , optionally substituted  $(C_6-C_{14})$ -aryl- $S(O)_n$ ,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkyl- $S(O)_n$  optionally substituted in the aryl radical, optionally substituted heteroaryl- $S(O)_n$  or heteroaryl- $(C_1-C_8)$ -alkyl- $S(O)_n$  optionally substituted in the heteroaryl radical, where n is 1 or 2;
- $R^1$  is X-NH-C(=NH)-(CH<sub>2</sub>)<sub>p</sub> or X<sup>1</sup>-NH-(CH<sub>2</sub>)<sub>p</sub>, where p is 0, 1, 2 or 3;
- is hydrogen,  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkylcarbonyl,  $(C_1-C_6)$ -alkoxycarbonyl,  $(C_1-C_1)$ -alkylcarbonyloxy,  $(C_1-C_6)$ -alkoxycarbonyl, optionally substituted  $(C_6-C_{14})$ -arylcarbonyl, optionally substituted  $(C_6-C_{14})$ -aryloxycarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxycarbonyl which can also be substituted in the aryl radical,  $(R^8O)_2P(O)$ , cyano, hydroxyl,  $(C_1-C_6)$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_6)$ -alkoxy which can also be substituted in the aryl radical, or amino;
- [has one of the meanings of X] is optionally substituted ( $C_6$ - $C_{14}$ )-arylcarbonyl, optionally substituted ( $C_6$ - $C_{14}$ )-aryloxycarbonyl, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxycarbonyl optionally substituted in the aryl radical, ( $C_6$ - $C_{14}$ )-aryl-( $C_1$ - $C_6$ )-alkoxy optionally substituted in the aryl radical, or is R'- NH-C(=N-R"), where R' and R" independently of one another have the meanings of X;
- R<sup>2</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;
- R<sup>3</sup> is hydrogen, (C<sub>1</sub>-C<sub>8</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>2</sub>-C<sub>8</sub>)-alkenyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>)-alkynyl, (C<sub>2</sub>-C<sub>8</sub>) alkynylcarbonyl, pyridyl, R<sup>11</sup>NH, R<sup>4</sup>CO, COOR<sup>4</sup>, CON(CH<sub>3</sub>)R<sup>14</sup>, CONHR<sup>14</sup>, CONHR<sup>14</sup>, COOR<sup>15</sup>, CON(CH<sub>3</sub>)R<sup>15</sup> or CONHR<sup>15</sup>;
- is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals  $R^4$ ;  $R^4$  is hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18}))$ -alkyl)aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_3-C_{18})$ -alkylaminocarbonyl, which can also be substituted in the aryl radical, amino,

mercapto, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, (C<sub>1</sub>-C<sub>18</sub>) alkoxycarbonyl, optionally substituted (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, halogen, nitro, trifluoromethyl or the radical R<sup>5</sup>;

- is optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical, a mono- or bicyclic 5- to 12-membered heterocyclic ring which can be aromatic, partially hydrogenated or completely hydrogenated and which can contain one, two or three identical or different heteroatoms <u>selected</u> from the group consisting of nitrogen, oxygen and sulfur, a radical R<sup>6</sup> or a radical R<sup>6</sup>CO-[.] where the aryl radical and, independently thereof, the heterocyclic radical can be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkoxy, Halogen, nitro, amino and trifluoromethyl;
- R<sup>6</sup> is R<sup>7</sup>R<sup>8</sup>N, R<sup>7</sup>O or R<sup>7</sup>S or an amino acid side chain, a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-, and their esters and amides, where hydrogen or hydroxymethyl can optionally stand in place optionally protected free functional groups;
- is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkycarbonyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkylcarbonyl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>18</sub>)-alkyloxycarbonyl, where the alkyl groups can optionally be substituted by an amino group and/or where the aryl radicals can be mono- or polysubstituted[, **preferably monosubstituted,**] by identical or different radicals <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)-alkyl, (C<sub>1</sub>-C<sub>8</sub>)-alkoxy, halogen, nitro, amino and trifluoromethyl, or is a natural or unnatural amino acid, imino acid, optionally N-(C<sub>1</sub>-C<sub>8</sub>)-alkylated or N-((C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkylated) azaamino acid or a dipeptide radical which can also be substituted in the aryl radical and/or in which the peptide bond can be reduced to -NH-CH<sub>2</sub>-;
- R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl which can also be substituted in the aryl radical;

- $R^9$  is hydrogen, aminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkylaminocarbonyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkylaminocarbonyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-arylaminocarbonyl, (C<sub>1</sub>-C<sub>18</sub>)-alkyl, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;
- $R^{10}$  is hydroxyl,  $(C_1-C_{18})$ -alkoxy,  $(C_6-C_{14})$ -aryl- $(C_1-C_8)$ -alkoxy which can also be substituted in the aryl radical, optionally substituted  $(C_6-C_{14})$ -aryloxy, amino or mono- or di- $((C_1-C_{18})$ -alkyl)amino;
- $R^{11}$  is hydrogen (C<sub>1</sub>-C<sub>18</sub>)-alkyl,  $R^{12}$ CO, optionally substituted (C<sub>6</sub>-C<sub>14</sub>)-aryl-S(O)<sub>2</sub>, (C<sub>1</sub>-C<sub>18</sub>)-alkyl-S(O)<sub>2</sub>, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or  $R^9NHS(O)_2$ ;
- is hydrogen ( $C_1$ - $C_1$ 8)-alkyl, ( $C_2$ - $C_8$ )-alkenyl, ( $C_2$ - $C_8$ )-alkynyl, optionally substituted ( $C_6$ - $C_1$ 4)-aryl, ( $C_1$ - $C_1$ 8)-alkoxy, ( $C_6$ - $C_1$ 4)-aryl-( $C_1$ - $C_8$ )-alkoxy which can also be substituted in the aryl radical, optionally substituted ( $C_6$ - $C_1$ 4)-aryloxy, amino or mono- or di-(( $C_1$ - $C_1$ 8)-alkyl)amino;
- $R^{13}$  is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>6</sub>-C<sub>14</sub>)-aryl-(C<sub>1</sub>-C<sub>8</sub>)-alkyl optionally substituted in the aryl radical or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl;
- $R^{14}$  is hydrogen or  $(C_1-C_{28})$ -alkyl which can optionally be mono- or polysubstituted by identical or different radicals <u>selected</u> from the group consisting of hydroxyl, hydroxycarbonyl, aminocarbonyl, mono- or di- $((C_1-C_{18})$ -alkyl)-aminocarbonyl, amino- $(C_2-C_{18})$ -alkylaminocarbonyl, amino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonylamino- $(C_1-C_3)$ -alkylphenyl- $(C_1-C_3)$ -alkylaminocarbonyl,  $(C_1-C_{18})$ -alkylcarbonyl-amino- $(C_2-C_{18})$ -alkylaminocarbonyl,  $(C_6-C_{14})$ -aryl- $(C_1-C_{18})$ -alkoxycarbonyl which can also be substituted in the aryl radical, amino, mercapto,  $(C_1-C_{18})$ -alkoxy,  $(C_1-C_{18})$ -alkoxycarbonyl, optionally substituted  $(C_3-C_8)$ -cycloalkyl,  $(C_1-C_3)$ -alkyl,  $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen, nitro, trifluoromethyl and  $(C_1-C_3)$ -alkyl, tetrazolyl- $(C_1-C_3)$ -alkyl, halogen,
- $R^{15}$  is  $R^{16}$ -(C<sub>1</sub>-C<sub>6</sub>)-alkyl or  $R^{16}$ ;
- R<sup>16</sup> is a 6- to 24-membered bicyclic or tricyclic radical which is saturated or partially unsaturated and which can also contain one to four identical or different heteroatoms

<u>selected</u> from the group consisting of nitrogen. oxygen and sulfur and which can also be substituted by one or more identical or different substituents <u>selected</u> from the group consisting of (C<sub>1</sub>-C<sub>4</sub>)-alkyl and oxo;

b, c, and d are 1;

- e is 0, 1, 2, 3, 4, 5 or 6;
- f is 0;
- g is 0, 1, 2, 3, 4, 5 or 6;
- h is 0, 1, 2, 3, 4, 5 or 6;

in all their stereoisomeric forms and mixtures thereof in any ratio, and of their physiologically tolerable salts.